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## Facsimile

To: Bayer Aktiengesellschaft  
Attention: Dr Reuter  
Fax No: (0214) 303482 ((0214) 307809)  
From: Dr Peter A Stearne  
Office: Sydney  
Date: 23 March, 1999  
Re: Bayer Aktiengesellschaft  
Australian Patent Application No. 696581  
"Non-systemic control of parasites"  
- and -  
Opposition thereto by  
NOVARTIS AG

Your Ref: LEA 30349-AU

Our Ref: 548723

Pages:  
(including this one)

32-

If you do not receive all pages, please let us know  
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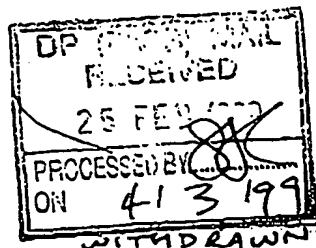
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Your Ref: 548723/PS/KAD  
714593


Re: Patent Application No. 696581 (Opp 1)  
 in the name of Bayer Aktiengesellschaft  
 and  
 Opposition thereto by Sumitomo Chemical Company, Limited

Dear Sir/Madam

The agent for the opponent filed on 18 February 1999 advice that the above opposition has been withdrawn.

This will be advertised in the Official Journal of 11 March 1999.

Yours faithfully

  
 Jan Morrison  
 OPPOSITION OFFICER  
 23 February, 1999



SPRUSON&amp;FERGUSON

**AUSTRALIA**  
*Patents Act 1990***Statement of Grounds and Particulars of Opposition**

We, Novartis, of CH-4002 Basel SWITZERLAND, provide the following information in support of the Notice of Opposition in relation to Patent Application Serial No. 696581 ("the opposed application") in the name of Bayer Aktiengesellschaft.

The grounds of opposition relied on by the opponent are as follows:-

**Grounds of Opposition****Ground 1:Section 59(a)**

The nominated person is not entitled to the grant of a patent for the invention.

**Ground 2:Section 59(b)**

The invention is not a patentable invention because it does not comply with paragraph 18(1)(a).

**Ground 3:Section 59(c)**

The specification filed in respect of the complete application does not comply with sub-paragraph 18(1)(b)(i).

**Ground 4:Section 59(d)**

The specification filed in respect of the complete application does not comply with sub-paragraph 18(1)(b)(ii).

**Ground 5:Section 59(e)**

The specification filed in respect of the complete application does not comply with subsection 40(2) or (3).

**Particulars of the Grounds****Ground 1**

1. The nominated person is not entitled to the grant of a patent on the opposed application since the alleged invention, defined in any one of claims 1 to 12 of the opposed application does not define a manner of manufacture within the meaning of section 6 of the Statute of Monopolies, or otherwise is not an "invention" within the meaning of paragraph 18(1)(a) in that it does not possess the threshold requirement for "newness" or "inventiveness" for the reasons set out below under Grounds 3 and 4 respectively. Consequently, the nominated person is not entitled to the grant of a patent on the opposed application.

## Ground 2

2. The alleged invention as defined in any one of claims 1 to 12 of the opposed application is not a manner of new manufacture within the meaning of Section 6 of the Statute of Monopolies because the specification of the opposed application does not possess the required threshold requirement for "newness" or "inventiveness" or does not otherwise define an "invention" within the meaning of section 18(1) of the *Patents Act 1990* at the relevant priority date of the claim having regard to the disclosure of the specification and the claims of the opposed application.

Therefore, the threshold requirement of "newness" or "inventiveness", necessary for there to be a proper subject of letters patent, is absent, and accordingly the claims do not define an "invention" or a manner of new manufacture within the meaning of Section 6 of the Statute of Monopolies.

3. The alleged invention, the subject of any one of claims 1 to 12 of the opposed application, was not the first disclosure of the subject matter of the opposed application and as such the alleged and claimed invention is not a manner of new manufacture.

4. The alleged invention, the subject of any one of claims 1 to 11 of the opposed application, reflects nothing more than a use of known compounds for which the known properties of these compounds make them suitable, and as such the alleged invention is not a manner of new manufacture, when considered in light of the specification itself and in light of the common general knowledge, which clearly disclose the subject matter of the opposed application.

5. The alleged invention, the subject of any one of claims 1 to 11 of the opposed application, is not a manner of manufacture within the meaning of Section 6 of the Statute of Monopolies because each claim is directed to the use of known substances, and hence is directed to "working directions" of these known substances as presently known to the skilled addressee, said directions providing no new result.

6. In particular, the alleged invention, as described in the specification of the opposed application, is directed to the use of compounds and compositions containing those compounds, which compounds are well known to have anti-parasitic activity. There is no new result achieved by the subject matter of the "use" claims of the opposed application which merely define "working directions" to provide an old result.

### Ground 3

7. The alleged invention as claimed in any one of claims 1 to 12 of the opposed application is not novel in the light of the prior art base which includes documents D1-D27 together with the common general knowledge as it existed at the priority date of any one of the claims of the opposed application.

8. The documents relied upon to establish lack of novelty are as follows:

- Document D1:** EP 590,425 Bayer AG; published April 6, 1994.
- Document D2:** BRIGHTON CROP PROTECTION CONFERENCE-PESTS AND DISEASES, No. 1 (1990) Thorton Heath, GB; pp 21-28, A. Elbert *et al.*
- Document D3:** PAP. INT. CONGR. PESTIC. CHEM. 4TH (1978) PUBL. (1979) OXFORD, GB, pp 449-457; S.W. Jones *et al.* 'Interaction of insecticides with acetylcholine receptors'.
- Document D4:** WO 93/24002 Ciba-Geigy AG; published December 9, 1993.
- Document D5:** US 4,742,060 (equivalent to EP 0,192,060) Nihon Tokushu Noyaku Seizo K.K. published August 27, 1986.
- Document D6:** EP 0,3 76,279 Takeda Chemical Industries, Ltd., published July 4, 1990
- Document D7:** EP 0,302,833 Ciba-Geigy AG; published February 2, 1989.
- Document D8:** US 5,063,236 (equivalent to EP 0,306,696) Ciba-Geigy Corporation published March 15, 1989.
- Document D9:** EP 0,471,372 Takeda Chemical Industries, Ltd., published February 19, 1992.
- Document D10:** EP 0,364,844 Nihon Tokushu Noyaku Seizo K.K. published April 25, 1990.
- Document D11:** EP 0,493,369 Takeda Chemical Industries, Ltd., published July 1, 1991.
- Document D12:** EP 0,381,130 Takeda Chemical Industries, Ltd., published August 8, 1990.
- Document D13:** EP 0,529,680 Takeda Chemical Industries, Ltd., published March 3, 1992.
- Document D14:** EP 0,302,389 Takeda Chemical Industries, Ltd., published February 8, 1989.
- Document D15:** US 5,303,605 Ciba-Geigy Corporation; published April 12, 1994.
- Document D16:** EP 0,163,855 Nihon Tokushu Noyaku Seizo K.K. published December 1, 1985.
- Document D17:** DE 42 07 604 Ciba-Geigy AG; published September 17, 1992.
- Document D18:** EP 0,375,907 Nihon Tokushu Noyaku Seizo K.K. published July 4, 1990.
- Document D19:** EP 0,296,453 Nihon Tokushu Noyaku Seizo K.K. published December 28, 1988.
- Document D20:** EP 0,259,738 Nihon Tokushu Noyaku Seizo K.K. published March 16, 1988.
- Document D21:** EP 0,386,565 Nihon Tokushu Noyaku Seizo K.K. published September 12, 1990.
- Document D22:** US 4,914,113 Nihon Tokushu Noyaku Seizo K.K. published April 3, 1990 (equivalent to EP 315,826)
- Document D23:** EP 0,383,091 Nihon Tokushu Noyaku Seizo K.K. published August 22, 1990.
- Document D24:** GB 2,228,003 Shell Internationale Research Maatschappij B.V. published August 15, 1990.
- Document D25:** EP 0,254,859 Nihon Tokushu Noyaku Seizo K.K. published February 3, 1988.
- Document D26:** GB 2,271,110 Roussel-Uclaf; published April 6, 1994.
- Document D27:** EP 0,285,985 Bayer AG; published October 12, 1988.

### 9. Reference D1

EP 590,425 Bayer AG; published April 6, 1994.

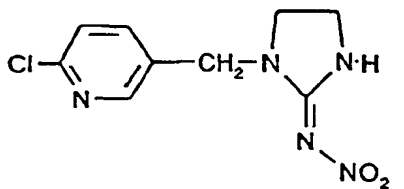
This document discloses the class of compounds which are largely identical to those as set out in the opposed application, except that the use is claimed for combating fish parasites. Page 2, lines 1-2 of D1 states: "The present invention relates to combating fish parasites using agents which contain *agonists or antagonists of the nicotinic acetylcholine receptors of insects.*"

This statement demonstrates that the compounds of the opposed application were known before the priority date as agonists or antagonists of the nicotinic acetylcholine receptors of insects.

### 10. Reference D2

BRIGHTON CROP PROTECTION CONFERENCE-PESTS AND DISEASES, No.1 (1990) Thorton Heath, GB; pp 21-28, A. Elbert *et al.* Imidacloprid, a novel systemic nitromethylene analogue insecticide for crop protection.

This publication deals with imidacloprid which has the following chemical structure



This is the compound the use of which is claimed in claim 9 of the opposed application.

The abstract of Reference D2 consists of a discussion of the broad activity spectrum of this compound. It is relevant that in line 6 of the abstract, the activity against the order *Diptera* is disclosed. In this regard, reference is also made to page 8, last paragraph of the opposed application which sets out examples *Diptera* against which the compounds of the invention are active.

In addition, the last paragraph of page 21 of Document D2 states that preliminary investigations indicate that imidacloprid acts on the *nicotinic acetylcholine receptor*. In this regard, reference is made to page 1a, lines 6-7 and the preamble of claim 1 of the opposed application, where it will be seen that the compounds of the opposed application are directed to agonists and antagonists of the nicotinic acetylcholine receptors in insects.

Page 22 of Reference D2 discloses the low toxicity of imidacloprid for in rats and rabbits. Reference is also made to the Conclusion on page 28 of Reference D2 where the *low mammalian toxicity* is noted.

### 11. Reference D3

PAP. INT. CONGR. PESTIC. CHEM. 4TH (1978) PUBL. (1979) OXFORD, GB, pp 449-457; S.W. Jones *et al.* 'Interaction of insecticides with acetylcholine receptors'

This reference describes the interaction of insecticides with the *nicotinic acetylcholine receptor* of insects and that this interaction is fatal to the insect (c.f. page 259, second paragraph).

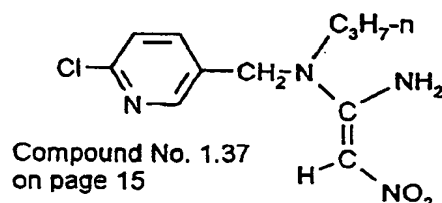
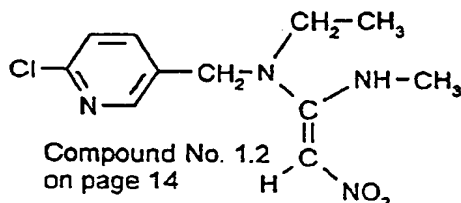
## 12. Reference D4

WO 93/24002 Ciba-Geigy AG; published December 9, 1993; and corresponding South African Patent No. 93/3547.

This document is cited on page 1a, lines 13-20 of the opposed application. It is significant that within this paragraph, at lines 19-20 it misleadingly states that "WO 93/24002 alleges that the non-systemic type of use is unsuitable for controlling fleas on domestic animals."

In fact, Reference D4 actually describes certain advantages of the systemic use of nitroenamine derivatives which are within the scope of the opposed application over their non-systemic use against fleas. Hence, it is submitted that the non-systemic use has been considered as the state of the art for compounds of Reference D4. This reference also describes in great detail the state of the art with regard to the control of fleas. Fleas belong to the order *Siphonaptera* and represent a major target of the opposed application.

Furthermore, insecticidal compounds which are embraced by the opposed application. Typical representatives in Document D4 are:



The compounds of this document are known as insecticides from the below referenced EP 0,302,389 and EP 0,302,833, Documents D14 and D7 respectively.

Document D4 describes the state of the art with regard to the non-systemic use. The corresponding South African document, i.e. Patent No. 93/3547 which is a translation of Document D4 states in the passage beginning with the last paragraph of page 5 and ending with the 2<sup>nd</sup> last paragraph on page 6 as follows: "A number of *conventional methods of control* are known, but they have various disadvantages. If, for example, *flea combs surface-coated with an insecticide* are used, the animal's owner has no alternative but to comb the animal intensively and often which, depending on the size of the animal, may take from a few minutes to an hour and will not be accepted patiently by every animal. However, not every animal owner is prepared to devote the time to this. The use of corresponding *anti-flea shampoos* is often unsuccessful, since most cats, and also many dogs, can be bathed, if at all, only by force, with the result that water and active ingredient are spilt and have to be cleared up. In addition, the effect of such a bath treatment lasts about a week at most, and the laborious procedure has to be repeated. The same or very similar problems can be expected with the use of *dips or rinses*. The use of *dusting powders* is generally also not accepted by the animal without resistance, since it takes several minutes to treat the whole surface of the fur uniformly, and some of the dust will inevitably get into the mouth, nose and eyes of the animal. Even with careful application, it cannot be ensured that the animal and the human will not inhale any powder. It is virtually inevitable that the human will also come into contact with the composition to a greater or lesser extent.

"When using sprays, many people may be unpleasantly surprised to find that most animals, especially cats, run away or react aggressively at the mere sound of the spray. In addition, sprays also have all the disadvantages listed for dusting powders, added to which they become even more finely dispersed in the atmosphere and are therefore inhaled by human and animal. Fleas are frequently controlled by means of so-called *flea collars*, which ensure good effectiveness

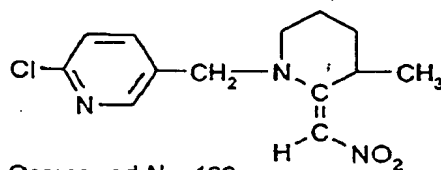
temporarily. This treatment has a certain weakness, owing especially to its locally very limited area of application. Although the killing action in the region of the neck and chest is generally 100%, more remote parts of the body are scarcely affected. In addition, those collars are active for a limited time. Furthermore, many of the collars are unattractive and may annoy the animal. It is also possible nowadays to buy *medallions*, which can be hung from conventional collars and are supposed to be effective. Although they are attractive in appearance, the action of those medallions is unsatisfactory, since they have inadequate contact with the fur. Some anti-flea organophosphorus compounds are also available as *spot-on formulations* and are thus applied to a locally limited area of the fur. They generally have good short-term activity against adult fleas, but the compositions used often have toxic properties that present problems. Some organophosphorus compounds have also been administered orally, but they are subject to strict safety restrictions and must on no account be administered simultaneously with other organophosphorus compounds." (Emphasis added)

### 13. Reference D5

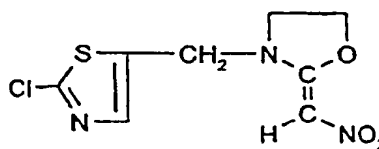
US 4,742,060 (equivalent to EP 0,192,060) Nihon Tokushu Noyaku Seizo K.K. published August 27, 1986

This document corresponds to AU-52866/86 August 7, 1986 and AU-584388 May 25, 1989. Document D5 represents the basic imidacloprid patent.

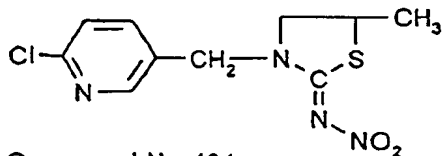
Document D5 discloses insecticidal heterocyclic nitro-substituted compounds. Typical representatives are:



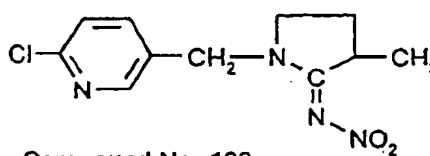
Compound No. 193  
in columns 89-90



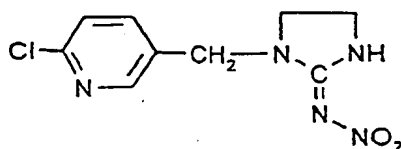
Compound No. 211  
in columns 93-94



Compound No. 134  
in columns 77-78



Compound No. 198  
in columns 89-90



Compound No. 11  
Example 11-ii  
in column 58  
Imidacloprid

Reference is made to Column 52, lines 45-59 where it is stated that: "In the field of veterinary medicine, the novel compounds of this invention are effective against various noxious *animal parasites* (endo- and *ecto-parasites*) such as insects and worms. Examples of such animal parasites are shown below. Insects: *Gastrophilus* spp., *Stomoxys* spp., *Trichodectes* spp., *Rhodnius* spp., and *Ctenocephalides canis*. Substances having pesticidal activity against all of these pests may sometimes be referred to in this application simply as insecticides." (Emphasis added)



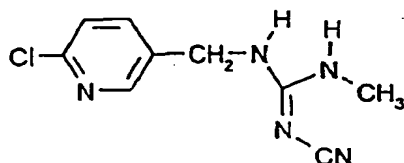
In addition, at Column 214, lines 27-30, the following is claimed: "28. *A method of combating insects which comprises applying to said insects or to an insect habitat an insecticidally effective amount of a compound according to claim 1.*" (Emphasis added)

Finally, reference is made to Column 52, lines 60-65 where it is stated that: "The active compounds can be converted into the customary formulations, such as solutions, emulsions, suspensions, powders, foams, pastes, granules, aerosols, natural and synthetic materials impregnated with active compound, ...." (Emphasis added)

#### 14. Reference D6

EP 0,376,279 Takeda Chemical Industries, Ltd., published July 4, 1990

This document discloses insecticidal guanidine derivatives. A typical representative of said guanidines is compound No. 1 in Table 3 on page 22 which has the following chemical structure



At Page 2, lines 29-32 it is stated that: "... the present invention is aimed to provide an insecticidal composition comprising a guanidine derivative or its salt which is *low in toxicity on human beings, animals, fishes and natural enemies of pest insects, beside safety and potent pest controlling effect* and is useful in agricultural, horticultural and/or home gardening fields." (Emphasis added)

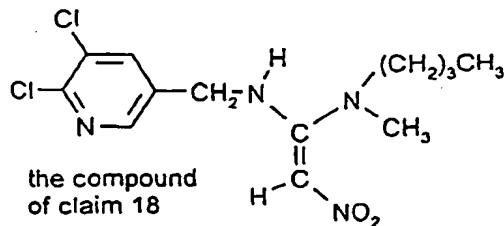
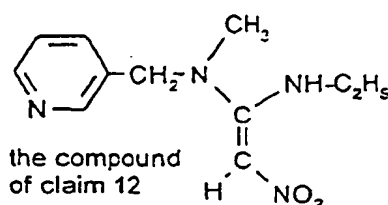
At Page 8, lines 30-33 it is stated that: "The guanidine derivatives [I] or their salts are effective in preventing sanitary or horticultural insect pests and *animal and plant parasites* and can *exert potent insecticidal activities when they are directly contacted with insects, e.g. by applying to their living animals or plants.*" (Emphasis added)

Furthermore, Page 8, lines 40-53 discloses a wide range of target insects including the order *Diptera* and the species *Musca* (c.f. line 48) which are also target insects of the opposed application.

#### 15. Reference D7

EP 0,302,833 Ciba-Geigy AG; published February 2, 1989

Discloses insecticidal nitroenzamine derivatives. Typical representative of these nitroenamines are



The following passages have been translated from the German:

P 7, lines 26-41: "Surprisingly, it has been found that *the compounds* of formula I of this invention and the intermediates of formula IV are effective pesticides *while being well tolerated by warm-blooded animals* and plants. The compounds of formulae I and IV are *therefore suitable e.g. for controlling pests of animals* and plants. Such pests belong principally to the phylum of Arthropoda, such as in particular insects of the orders *Lepidoptera, Coleoptera, Homoptera, Heteroptera, Diptera, Thysanoptera, Orthoptera, Anoplura, Siphonaptera, Mallophaga, ...* In the control of pests that are parasites of animals, in particular of domestic animals and productive livestock, the main targeted pests are ectoparasites, such as mites and ticks and Diptera, for example *Lucilia sericata*." (Emphasis added)

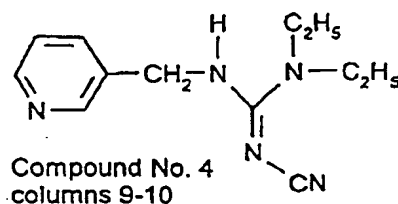
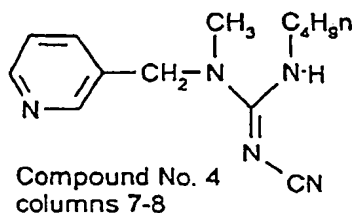
P 7, lines 49-51: "As with the compositions, the methods of application such as *spraying, atomizing, dusting, scattering or pouring*, are chosen in accordance with the intended objectives and the prevailing circumstances." (Emphasis added)

P 21, Claim 26: "A *method of controlling parasites of animals and plants characterized in that the parasite* in its different development stages is brought into contact with a compound of the formula I of claim 1 or a compound of the formula IV of claim 20." (Emphasis added)

#### 16. Reference D8

US 5,063,236 (equivalent to EP 0,306,696 Ciba-Geigy Corporation published March 15, 1989)

This document discloses insecticidal guanidine derivatives. Typical representative are:



Column 4, lines 28-39: "It has now surprisingly been found that the novel guanidine compounds of formula I according to the invention have *excellent insecticidal properties* while being well tolerated by plants and having *low toxicity to warm-blooded animals*. They are *suitable especially for controlling pests that attack plants and animals*. The compounds of formula I are especially suitable for controlling insects of the orders *Lepidoptera, Coleoptera, Homoptera, Heteroptera, Diptera, Thysanoptera, Orthoptera, Anoplura, Siphonaptera, Mallophaga, Thysanura, Isoptera, Psocoptera* and *Hymenoptera* and representatives of the order *Acarina*." (Emphasis added)

Column 4, lines 48-52: "In this connection, attention is drawn to the fact that the said compounds are distinguished by a strongly pronounced systemic action, but *especially by contact action, against sucking insects,...*" (Emphasis added)

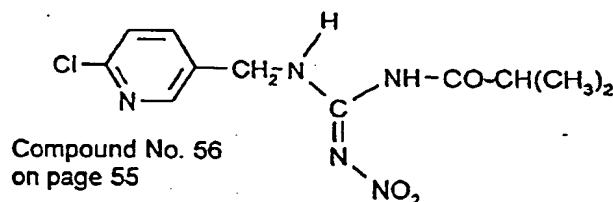
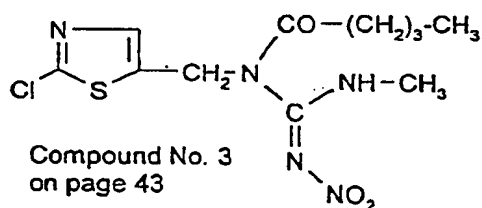
Column 4, lines 64-68: "The compounds are also suitable for *controlling ectoparasites*, for example *Lucilia sericata*, and ticks on domestic animals and productive livestock, for example by *treating the animals, livestock buildings and pastures*." (Emphasis added)

Column 16, claim 7: "7. A method of controlling insects and representatives of the order Acarina which *comprises bringing into contact or treating said pests*, or various stages of development thereof *or the locus thereof*, with a pesticidally effective amount of a compound of formula I ... ; or with a composition containing a pesticidally effective amount of said compound together with a carrier or other adjuvant." (Emphasis added)

#### 17. Reference D9

EP 0,471,372 Takeda Chemical Industries, Ltd., published February 19, 1992

This document discloses insecticidal nitro-guanidine derivatives. Typical representative of these guanidines are



P 3 lines 24-26 discloses the following: "Thus, it is the objective of the present invention *to provide a new class of pesticides* which have potent insecticidal activity and *excellent controlling effect on pests* and are of *low toxicity to human beings, domestic animals, fishes and natural enemies of pests and safe.*" (Emphasis added)

P 15, lines 6-9 discloses the following: "The substituted nitro-guanidine derivative [I] and salts thereof are *effective in controlling* sanitary or horticultural insect pests and *animal/plant parasitic insects*, and exhibit potent insecticidal action *when contacted directly with insects, for example, by being sprinkled directly over animals and plants with insect pests thereon.*" (Emphasis added)

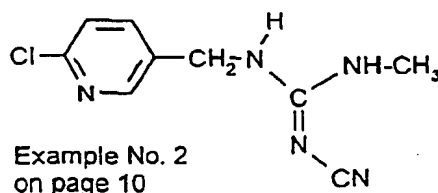
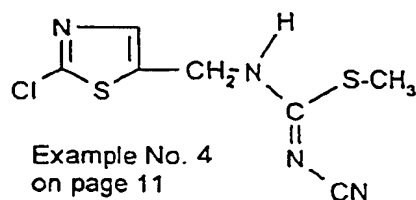
P 15 lines 13-14 discloses the following: "Furthermore, the compounds [I] and salts thereof are of low side effects on plants and also of *low toxicity against fish.*" (Emphasis added)

P 15, line 25 discloses the following: "...*Musca* ..."

#### 18. Reference D10

EP 0,364,844 Nihon Tokushu Noyaku Seizo K.K., published April 25, 1990

This document discloses cyano-guanidine derivatives. Typical representative of these cyano-guanidines are



At Page 8, lines 55-58 it is disclosed that the pests which are treated by the compounds of Reference D10 include: "... the order *Diptera* ... *Musca* ... *Fannia* ... *Calliphora* ... *Lucilia* ... *Chrysomya* ... *Gastrophilus* ... *Hyppobosca* ... *Stomoxys* ... *Oestrus* ... *Hypoderma* ... *Tannia* ..."

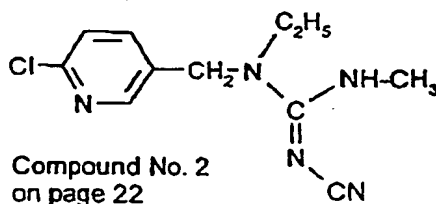
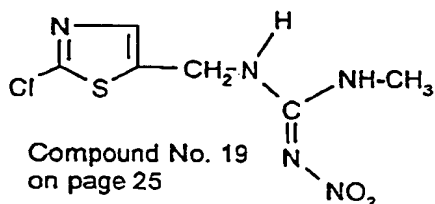
At Page 9, lines 11-16, it is stated that: "Furthermore, in the field of *veterinary medicine*, the novel compounds of the present invention can effectively *be employed for combating a variety of noxious animal-parasitic pests* (internal- and external-parasitic pests), e.g. parasitic insects and nematodes. Such animal-parasitic pests may be exemplified as follows: From the class of Insecta, e.g. *Gastrophilus* spp., *Stomoxys* spp., *Trichodectes* spp., *Rhodnius* spp., *Ctenocephalides canis* and the like." (Emphasis added)

Further, at Page 10, line 9, Document D10 states that: "The compounds are employed in a customary manner appropriate for the use forms." (Emphasis added)

#### 19. Reference D11

EP 0,493,369 Takeda Chemical Industries, Ltd., published July 1, 1992

This document discloses insecticidal cyano- and nitro-guanidine derivatives. Typical representatives of these cyano-guanidines are



At Page 7, lines 44-50, it is stated that: "The guanidine derivatives [I] or their salts *can be used as insecticide in any application form* suited for general agricultural chemicals. [For example] ....dusts, (line 46)....sprays, (line 47)....". (Emphasis added)

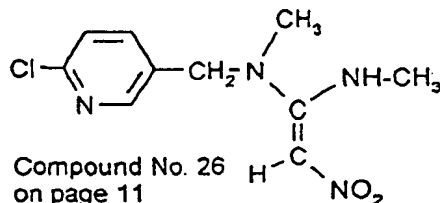
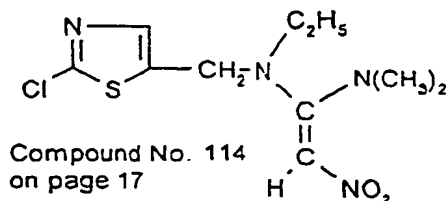
At Page 8, lines 32-34, it is stated that: "The guanidine derivatives [I] or their salts are effective in preventing sanitary or horticultural insect pests and *animal and plant parasites* and can exert potential insecticidal activities when *they are directly contacted with insects*, e.g. by *applying to their living animals or plants*." (Emphasis added)

Further, at Page 8, line 49, it is stated that the compounds of Document D11 are applicable to: "...Musca...".

#### 20. Reference D12

EP 0,381,130 Takeda Chemical Industries, Ltd., published August 8, 1990

This document discloses insecticidal nitroenamine derivatives [compounds (V)]. Typical representative of said nitroenamines are



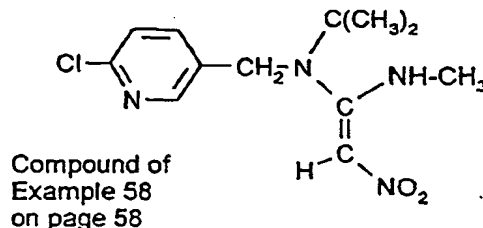
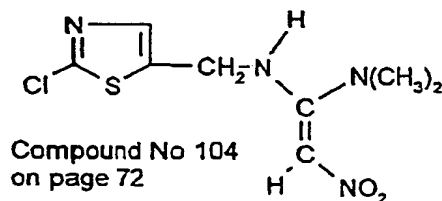
At Page 20, lines 1-3, it is stated that: "The compounds (V) or their salts are effective in preventing sanitary or horticultural insect pests and *animal* and plant *parasites* and can exert potential insecticidal activities when *they are directly contacted with insects*, e.g. by *applying to their living animals* or plants." At Page 20, lines 19-20, the insects to which these compounds are applicable include: "... order *Diptera* ... *Musca*...". (Emphasis added)

At Page 20, lines 25-32, it is stated that: "... the compounds (V) or their salts *are used in the form of preparation such as* ...dusts, (line 28)... sprays, (line 28)...". (Emphasis added)

## 21. Reference D13

EP 0,529,680 Takeda Chemical Industries, Ltd., published March 3, 1992

This document discloses insecticidal nitroenamine derivatives. Typical representative of said nitroenamines are



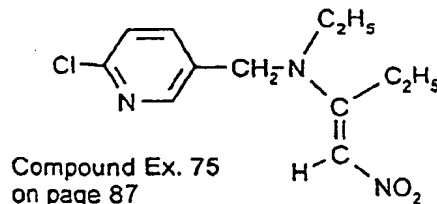
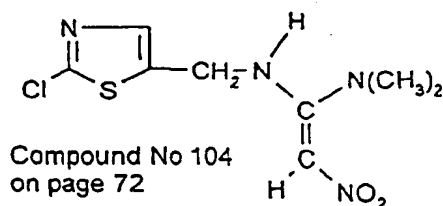
In the paragraph bridging pages 17 and 18, it is stated that: "The compound [I] and its salt according to the invention are *effective in the control of* household pests and *animal* or plant *parasitizing insects* and mites, and exhibit strong pesticidal effects as *contact poison* when *applied directly to the host animal* and plant." At Page 18, lines 19-20, the insects to which these compounds are applicable include: "...*Diptera* such as *Musca*....". (Emphasis added)

At Page 18, lines 22-29, it is stated that: "For application of the compound [I] or salt of the invention as an insecticide/miti(acari)cide, *it can be formulated into any possible and desired application form for agrochemicals*. ....oil preparation (lines 25-26).....dusts (line 26)....". (Emphasis added)

## 22. Reference D14

EP 0,302,389 Takeda Chemical Industries, Ltd., published February 8, 1989

This document discloses insecticidal nitroenamine derivatives. Typical representative of said nitroenamines are



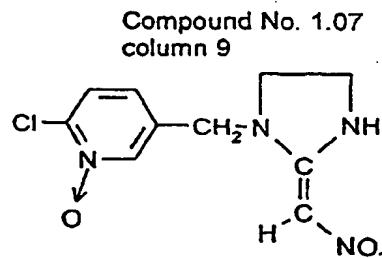
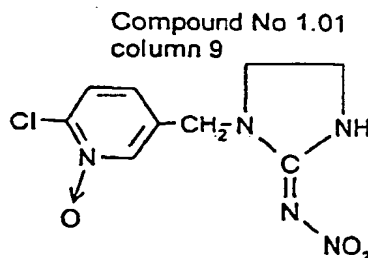
At Page 18, lines 21-23, it is stated that: "The compound [I] and its salt according to the invention are *effective in the control of* household pests and *animal* or plant *parasitizing insects* and mites, and exhibit strong pesticidal effects as *contact poison* when *applied directly to the host animals* and plants." At Page 18, line 38, the insects to which these compounds are applicable include: "...*Diptera* such as *Musca*....". (Emphasis added)

At Page 18, lines 43-52, it is stated that: "For application of the compound [I] or salt of the invention as an insecticide/miti(acari)cide, it can be formulated into any possible and desired application form for agrochemicals. ....oil preparation (line 47).....dusts (line 47)....."

### 23. Reference D15

US 5,303,605 Ciba-Geigy Corporation; published April 12, 1994

This document discloses insecticidal compounds. Typical representatives are:



At Column 4, lines 10-21, it is stated that: "It has now been found that the *compounds of formula I* according to the invention are *valuable active ingredients in pest control* while being *well tolerated by warm-blooded animals*, fish, birds and plants. The compounds according to the invention can be used especially against insects that cause damage to useful plants and ornamentals in agriculture, especially in cotton, vegetable and fruit crops, and in forestry, and can be used in the protection of stored goods and material stocks, and also in the hygiene sector, *and especially against insects that are harmful to domestic animals and productive livestock.*" (Emphasis added)

The paragraph bridging Columns 4 and 5, states that: "The above-mentioned pests include: .... the order *Anoplura*, for example, *Haematopinus spp.*, *Linognathus spp.*, *Pediculus spp.*, ... the order *Mallophaga*, for example, *Damalinea spp.* and *Trichodectes spp.*; ... the order *Diptera*, for example, ... *Chrysomyia spp.*, ... *Fannia spp.*, *Gastrophilus spp.*, *Glossina spp.*, *Hypoderma spp.*, *Hyppobosca spp.*, ... *Lucilia spp.*, ... *Musca spp.*, *Oestrus spp.*, ... *Stomoxys spp.*, *Tabanus spp.*, *Tannia spp.* ... the order *Siphonaptera*, for example, *Ceratophyllus spp.*, ...".

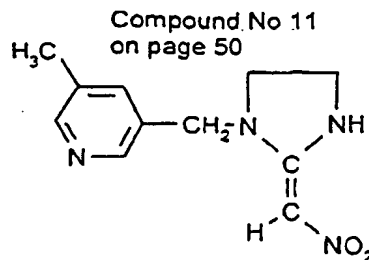
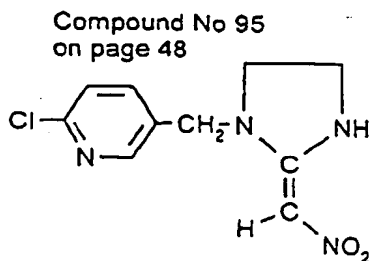
Column 15, Example B15 describes an *in vitro* test against *Ctenocephalides felis* which is the cat flea.

Finally, Column 6, lines 22-33, states that: "The *compounds of formula I* are used in unmodified form or, preferably, together with the adjuvants conventionally employed in formulation technology, and *can therefore be formulated in known manner* e.g. into emulsifiable concentrates, *directly sprayable or dilutable solutions*, dilute emulsions, wettable powders, soluble powders, *dusts*, granules, and also encapsulations in polymer substances. As with the compositions, the methods of application, such as *spraying*, atomising, *dusting*, scattering or *pouring*, are chosen in accordance with the intended objectives and the prevailing circumstances." (Emphasis added)

### 24. Reference D16

EP 0,163,855 Nihon Tokushu Noyaku Seizo K.K. published December 1, 1985

This document discloses insecticidal nitroenamine derivatives. Typical representative of said nitroenamines are



The following passages are translated from the German.

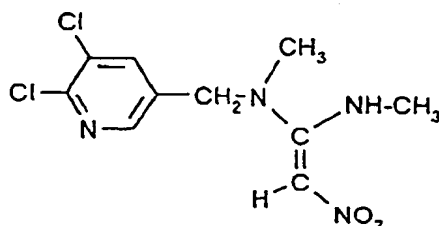
Page 8, lines 1-17: "In the field of veterinary medicine, the novel compounds of this invention are effective against various noxious *animal parasites* (endo- and *ecto-parasites*) such as insects and worms. Examples of such animal parasites are shown below. Insects: *Gastrophilus* spp., *Stomoxys* spp., *Trichodectes* spp., *Rhodnius* spp., and *Ctenocephalides canis*. Substances having pesticidal activity against all of these pests may sometimes be referred to in this application simply as insecticides." (Emphasis added)

Page 70, claim 1: "A method of *combating insects* which is characterized in that the nitromethylene derivative of the formula [I] is *applied to insects or habitat* thereof." (Emphasis added)

## 25. Reference D17

DE 4,207,604 Ciba-Geigy AG; published September 17, 1992

This document discloses the following insecticidal compound (c.f. title page):



The following citations are translated from the German.

At Page 3, lines 24-32, it is stated that: "It has surprisingly been found that the novel *compound* according to the invention exhibits a selective activity against sucking insects and has toxicological and ecological advantages over structural analogues. The compound shows especially a very low toxicity for birds and useful insects, like bees, without diminishing its property as a valuable active compound for the use in plant protection. The compounds according to the invention *can be used* especially against insects and acarides that cause damage to useful plants and ornamentals in agriculture, especially in cotton, vegetable and fruit crops, and in forestry, in stored goods and in material stocks, and also in the hygiene sector, and *especially for the protection of domestic animals and productive livestock*" (Emphasis added)

Page 4, lines 25-32, states that: "... order of the *Diptera* ... *Calliphora* ... *Chrysomya* ... *Gastrophilus* ... *Hypoderma* ... *Hyppobosca* ... *Lucilia* ... *Musca* ... *Oestrus* ... *Stomoxys* ... *Tannia* ... from the order of the *Siphonaptera*, e.g. *Ceratophyllus* spp."

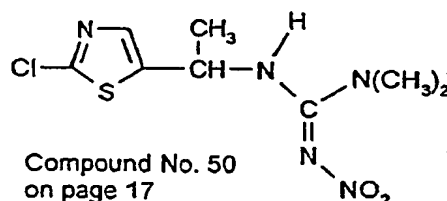
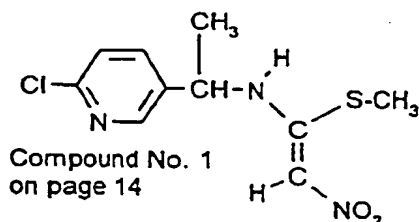
Page 15, lines 15-16, and Claim 4, states that: "4. Use of the compound of the formula [I] of claim 1 *for combating insects* and representatives of the order of the acarina *on animals* and plants." (Emphasis added)

Reference is also made to Page 15, lines 30-35, and claim 12 as follows: "*Process for combating insects* and representatives of the order of the acarina, characterized in that *the parasites* or their different development stages *and/or their habitat* are contacted or treated with a *pesticidally amount of the compound* of the formula [I] or with a composition that contains apart from adjuvants and carriers a *pesticidally effective amount* of this compound." (Emphasis added)

## 26. Reference D18

EP 0,375,907 Nihon Tokushu Noyaku Seizo K.K.; published July 4, 1990

This document discloses insecticidal nitro-enamine and nitro-guanidine derivatives. Typical representative of said nitroenamines are



At Page 9, lines 1-3, it is stated that: "*The active compounds* are well tolerated by plants, have favourable level of toxicity to warm-blooded animals, and *can be used for combating* arthropod pests, especially *insects* which are encountered in agriculture, in forestry, in the protection of stored products and of materials, and in the hygiene field." (Emphasis added)

At Page 10, lines 2-7, it is stated that: "Furthermore, *in the field of veterinary medicine, the novel compound of the present invention can effectively be employed for combating a variety of noxious animal-parasitic pests* (internal- and external-parasitic pests), e.g. parasitic insects and nematodes. Such animal-parasitic pests may be exemplified as follows: From the class of insects, e.g., *Gastrophilus spp.*, *Stomoxys spp.*, *Trichodectes spp.*, *Rhondius spp.*, *Ctenocephalides canis* and the like." (Emphasis added)

Page 9, lines 5-54 describes the very broad activity spectrum of the claimed compounds. Amongst the named insect orders and species there is a clear overlap with the activity spectrum disclosed in the opposed application, c.f. lines 17-18: "...the order of the *Anoplura*, for example, ....*Pediculus*....*Haematopinus spp.* and *Linognathus spp.*..."; line 19: "...the order of the *Mallophaga*, for example, *Trichodectes spp.* and *Damalinae spp.*"; lines 46-51: "...the order of the *Diptera*, for example, ...*Musca spp.*, *Fannia spp.*, *Calliphora ... Lucilia spp.*, *Chrysomyia spp.*, ... *Gastrophilus spp.*, *Hyppobosca spp.*, *Stomoxys spp.*, ... *Hypoderma spp.*, ... *Tannia spp.*, ... the order *Siphonaptera*, for example, *Xenopsylla cheopis* and *Ceratophyllus spp.*.... "

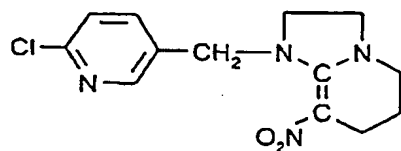
Claim 9 defines the following subject matter: "Process for combating harmful insects, characterized in that the *nitro compounds of the formula [I]* are allowed to act on *harmful insects and/or their habitat*." (Emphasis added)



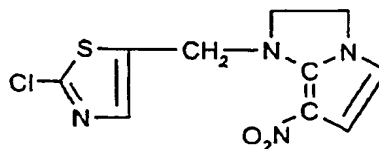
**27. Reference D19**

EP 0,296,453 Nihon Tokushu Noyaku Seizo K.K. published December 28, 1988

This document discloses insecticidal bi-heterocyclic nitro compounds. Typical representative of said nitroenamines are



Compound No. 77  
on page 29



Compound No. 26  
on page 23

The following passages are translated from the German.

At Page 6, lines 31-32, it is stated that: "The nitro-substituted heterocyclic compounds of the formula [I] according to the present invention show strong insecticidal properties."

At Page 13, lines 18-22, it is stated that: "*The inventive compounds are well tolerated by plants, have favourable level of toxicity to warm-blooded animals, and can be used for combating arthropod pests, especially insects which are encountered in agriculture, in forestry, in the protection of stored products and of materials, and in the hygiene field.*" (Emphasis added)

At Page 16, lines 25-34, it is stated that: "Furthermore, *in the field of veterinary medicine, the novel compound of the present invention can effectively be employed for combating a variety of noxious animal-parasitic pests (internal- and external-parasitic pests), e.g. parasitic insects and nematodes. Such animal-parasitic pests may be exemplified by following representatives from the class of insects: Gastrophilus spp., Stomoxys spp., Trichodectes spp., Rhodnius spp., and Ctenocephalides canis and the like.*" (Emphasis added)

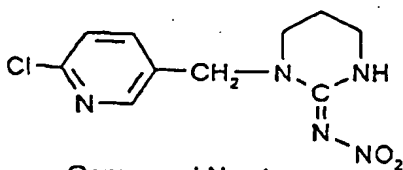
The list bridging pages 13-16 describes the very broad activity spectrum of the claimed compounds. Amongst the named insect orders and species there is a clear overlap with the activity spectrum disclosed in the opposed application, c.f., for example, bottom of p 15 and top of p 16: "...the order of the Diptera, for example, ...*Musca spp., Fannia spp., Calliphora ... Lucilia spp., Chrysomyia spp., ... Gastrophilus spp., Hyppobosca spp., Stomoxys spp., ... Hypoderma spp., ... Tannia spp.,*" (Emphasis added)

Page 48, claims 7 and 8, states that: "7. Process for combating harmful insects, characterized in that the nitro-substituted heterocyclic compounds of the formula [I] are allowed to act on harmful insects and/or their habitat." and "8. Use of the nitro-substituted heterocyclic compounds of the formula [I] for combating harmful insects." (Emphasis added)

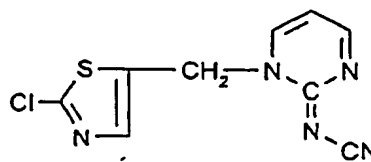
**28. Reference D20**

EP 0,259,738 Nihon Tokushu Noyaku Seizo K.K. published March 16, 1988

This document discloses insecticidal nitro- and cyano-substituted heterocyclic compounds. Typical representative of said compounds are



Compound No. 1  
on page 11



Compound G  
on page 23

The following passages are translated from the German.

At Page 3, lines 46-47, it is stated that: "The new heterocyclic compounds of the formula [I] according to the present invention show very strong *insecticidal properties*." (Emphasis added)

At Page 6, lines 42-45, it is stated: "*The inventive compounds* are well tolerated by plants, have favourable level of toxicity to warm-blooded animals, and *can be used for combating* arthropod pests, especially *insects* which are encountered in agriculture, in forestry, in the protection of stored products and of materials, and in the hygiene field." (Emphasis added)

At Page 9, lines 35-42, it is stated that: "*In the field of veterinary medicine, the novel compound of the present invention are active against a variety of noxious animal-parasitic pests (internal- and external-parasitic pests), e.g. insects and worms. Examples of such animal-parasitic pests are insects like *Gastrophilus* spp., *Stomoxys* spp., *Trichodectes* spp., *Rhodnius* spp., and *Ctenocephalides canis**" (Emphasis added)

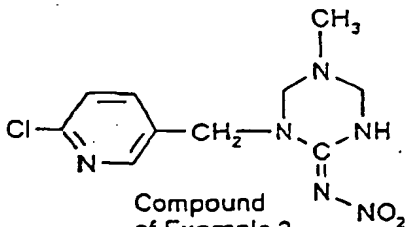
The list bridging pages 7-9 describes the very broad activity spectrum of the claimed compounds. Amongst the named insect orders and species there is a clear overlap with the activity spectrum disclosed in the opposed application, c.f., for example, in the middle of p 9: "...the order of the Diptera, for example, ...*Musca* spp., *Fannia* spp., *Calliphora* ... *Lucilia* spp., *Chrysomya* spp., ... *Gastrophilus* spp., *Hyppobosca* spp., *Stomoxys* spp., ... *Hypoderma* spp., ... *Tannia* spp., ..." (Emphasis added)

At Page 24, claims 7 and 8, it is stated that: "7. Process for combating harmful insects, characterized in that the nitro-substituted heterocyclic compounds of the formula [I] are allowed to act on harmful insects and/or their habitat." and "8. Use of the nitro-substituted heterocyclic compounds of the formula [I] for combating harmful insects." (Emphasis added)

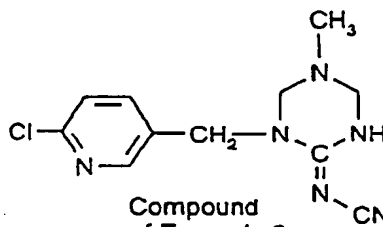
## 29. Reference D21

EP 0,386,565 Nihon Tokushu Noyaku Seizo K.K. published September 12, 1990

This document discloses insecticidal nitro- and cyano-substituted heterocyclic compounds. Typical representative of said compounds are



Compound of  
Example 2  
on page 7



Compound  
of Example 3  
on page 8

The following passages are translated from the German.

At Page 2, line 53, it is stated that: "The novel heterocyclic compounds of the formula [I] exhibit powerful *insecticidal properties*."

At Page 5, lines 12-14, it is stated that: "*The active compounds* are well tolerated by plants, have favourable level of toxicity to warm-blooded animals, and *can be used for combating* arthropod pests, especially *insects* which are encountered in agriculture, in forestry, in the protection of stored products and of materials, and in the hygiene field." (Emphasis added)

The long list bridging pages 5-6 discloses the very broad activity spectrum of the claimed compounds. Amongst the named insect orders and species there is a clear overlap with the activity spectrum disclosed in the opposed application, c.f., for example, p 5, lines 28-30: "...the order of the *Anoplura*, for example, ... *Pediculus* ..., *Haematopinus spp.* and *Linognathus spp.*, from the order of the *Mallophaga*, for example, *Trichodectes spp.* and *Damalineia spp.*" P 5, lines 57 - p 6, line 1: "...the order of the *Diptera*, for example, ...*Musca spp.*, *Fannia spp.*, *Calliphora* ... *Lucilia spp.*, *Chrysomyia spp.*, ... *Gastrophilus spp.*, *Hyppobosca spp.*, *Stomoxys spp.*, ... *Hypoderma spp.*, ... *Tannia spp.*," (Emphasis added)

At Page 6, lines 4-8, it is stated that: "The active compounds *can be converted into customary formulations*, such as solutions, emulsions, wettable powders...." (Emphasis added)

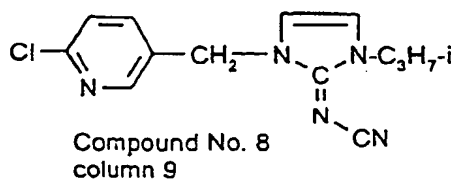
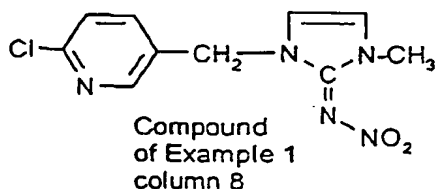
At Page 6, line 54, it is stated: "*The compounds are employed in a customary manner appropriate for the individual use forms*." (Emphasis added)

At Page 16, claims 5 and 6, the following is claimed: "5. Process for combating insects, characterized in that the heterocyclic compounds of the formula [I] are allowed to act on insects and/or their habitat." and "6. Use of the heterocyclic compounds of the formula [I] for combating insects." (Emphasis added)

### 30. Reference D22

US 4,914,113 Nihon Tokushu Noyaku Seizo K.K. published April 3, 1990 (equivalent to EP 315,826)

This document discloses insecticidal nitro- and cyano-substituted imidazoline derivatives. Typical representative of said compounds are



Column 2, lines 1-2 discloses: "The novel imidazolines exhibit *powerful insecticidal properties*."

Column 4, lines 62-68 discloses: "The active compounds are well tolerated by plants, have a favourable level of toxicity to warm-blooded animals, and *can be used for combating* arthropod pests, especially *insects* which are encountered in agriculture, in forestry, in the protection of stored products and of materials, and in the hygiene field. They are active against normally sensitive and resistant species and against all or some stages of development." (Emphasis added)

The long list bridging columns 5 and 6 discloses the very broad activity spectrum of the claimed compounds. Amongst the named insect orders and species there is a clear overlap with the activity spectrum disclosed in the opposed application, c.f., for example, column 5, lines 24-29: "...the order of the Anoplura, for example, ... *Pediculus* ..., *Haematopinus* spp. and *Linognathus* spp., from the order of the Mallophaga, for example, *Trichodectes* spp. and *Damalinea* spp." column 6, lines 9-18 discloses: "...the order of the Diptera, for example, ...*Musca* spp., *Fannia* spp., *Calliphora* ... *Lucilia* spp., *Chrysomya* spp., ... *Gastrophilus* spp., *Hyppobosca* spp., *Stomoxys* spp., ... *Hypoderma* spp., ... *Tannia* spp., ..." (Emphasis added)

Column 6, lines 18-19 discloses: "The active compounds can be converted into customary formulations, such as solutions, emulsions, wettable powders...." (Emphasis added)

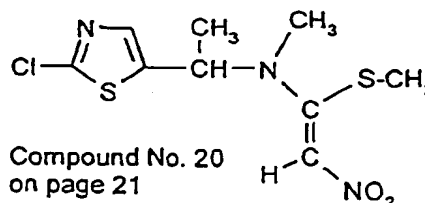
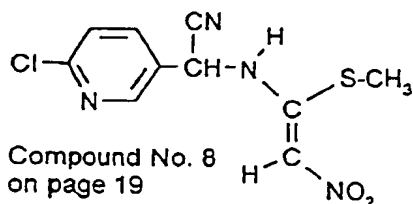
Column 7, lines 41-42 discloses: "The compounds are employed in a customary manner appropriate for the individual use forms." (Emphasis added)

Claim 7 defines the following subject matter: "7. A method of combating insects which comprises applying to such insects or to an insect habitat an insecticidally effective amount of a compound according to claim 1." (Emphasis added)

### 31. Reference D23

EP 0,383,091 Nihon Tokushu Noyaku Seizo K.K. published August 22, 1990

This document discloses insecticidal nitro compounds. Typical representatives are:



At Page 13, lines 39-43, the following is disclosed: "The active compounds according to the invention are well tolerated by plants, have favourable level of toxicity to warm-blooded animals, and can be used for combating arthropod pests, especially insects which are encountered in agriculture, in forestry, in the protection of stored products and of materials, and in the hygiene field." (Emphasis added)

The long list bridging pages 13-14 discloses the very broad activity spectrum of the claimed compounds. Amongst the named insect orders and species there is a clear overlap with the activity spectrum disclosed in the opposed application, c.f., for example, p 13, lines 55-57: "...the order of the Anoplura, for example, ... *Pediculus* ..., *Haematopinus* spp. and *Linognathus* spp., from the order of the Mallophaga, for example, *Trichodectes* spp. and *Damalinea* spp." Page 14, lines 26-31: "...the order of the Diptera, for example, ...*Musca* spp., *Fannia* spp., *Calliphora* ... *Lucilia* spp., *Chrysomya* spp., ... *Gastrophilus* spp., *Hyppobosca* spp., *Stomoxys* spp., ... *Hypoderma* spp., ... *Tannia* spp., ... the order of the Siphonaptera, for example, ... *Ceratophyllus* spp.; ..." (Emphasis added)

At Page 14 lines 46-47, it is stated that: "The active compounds can be converted into customary formulations, such as solutions, emulsions, wettable powders...." (Emphasis added)

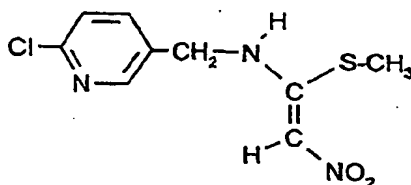
At Page 15, line 38, it is stated that: "The compounds are employed in a customary manner appropriate for the individual use forms." (Emphasis added)

At Page 42, claims 9 and 10 define the following subject matter: "9. Process for combating harmful insects, characterized in that the compounds of the formula [I] are allowed to act on harmful insects and/or their habitat.", and "10. Use of nitro compounds of the formula [I] for combating harmful insects." (Emphasis added)

### 32. Reference D24

GB 2,228,003 Shell Internationale Research Maatschappij B.V. published August 15, 1990

This document discloses nitro-enamine derivatives. A typical representative is shown in example 7 on page 15. It shows the following chemical structure



Page 3, 2nd paragraph: "There has now surprisingly been discovered a special class of compounds which exhibit pesticidal, particularly insecticidal activity." (Emphasis added)

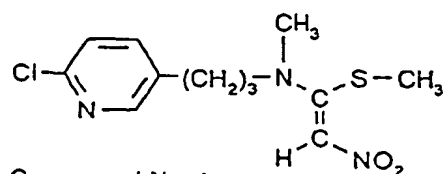
The paragraph bridging pages 7 and 8: "The invention further provides a method of combating pests at a locus, which comprises treating the locus with a pesticidal compound or composition according to the invention, and specifically provides the use as an insecticide of a compound of general formula I." (Emphasis added)

Page 10, line 4 refers to "...powders, dusts, ..." P 20; Test (V) *Musca domestica* (contact activity test)

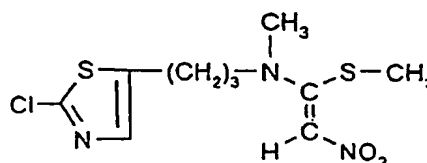
### 33. Reference D25

EP 254,859 Nihon Tokushu Noyaku Seizo K.K. published February 3, 1988

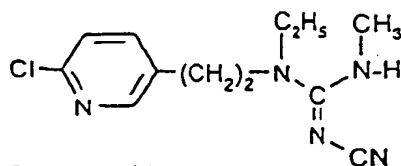
This document discloses insecticidal nitro- and cyano-enamine and guanidine derivatives. Typical representatives are



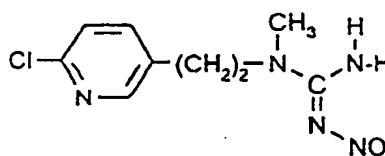
Compound No. 4  
on page 17



Compound No. 8  
on page 18



Compound No. 22  
on page 19



Compound No. 49  
on page 22

The following passages are translated from the German.

At Page 10, lines 39-43, it is stated that: "*The inventive compounds of the formula [I] are well tolerated by plants, have favourable level of toxicity to warm-blooded animals, and can be used for combating arthropod pests, especially insects which are encountered in agriculture, in forestry, in the protection of stored products and of materials, and in the hygiene field.*" (Emphasis added)

At Page 13, lines 33-42, it is stated that: "*In the field of veterinary medicine, the novel compound of the present invention are active against a variety of noxious animal-parasitic pests (internal- and external-parasitic pests), e.g. insects and worms. Examples of such animal-parasitic pests are insects like *Gastrophilus* spp., *Stomoxys* spp., *Trichodectes* spp., *Rhodnius* spp., and *Ctenocephalides canis*. The active compounds can be converted into customary formulations, such as solutions, emulsions, wettable powders....*" (Emphasis added)

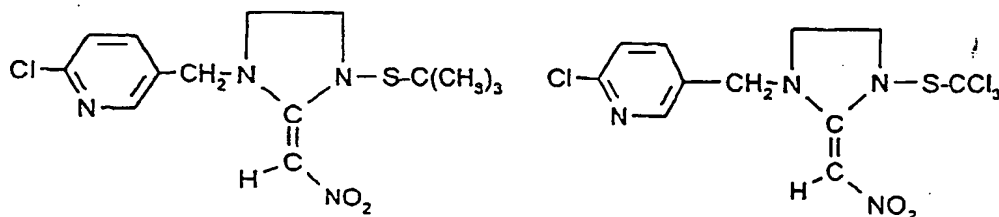
The list bridging pages 10-13 describes the very broad activity spectrum of the claimed compounds. Amongst the named insect orders and species there is a clear overlap with the activity spectrum disclosed in the opposed application, c.f., for example, p 11, lines 13-19: "*the order Anoplura ... *Haematopinus* ... *Linognathus* ... the order Mallophaga ... *Trichodectes* ... *Damalinea* ...*"; p 13, lines 9-31: "*...the order of the Diptera, for example, ...*Musca* spp., *Fannia* spp., *Calliphora* ... *Lucilia* spp., *Chrysomya* spp., ... *Gastrophilus* spp., *Hyppobosca* spp., *Stomoxys* spp., ...*Oestrus* spp., *Hypoderma* spp., *Tabanus* spp., *Tannia* spp., ...*" (Emphasis added)

At Page 30, claims 7 and 8, it is stated that: "7. Process for combating harmful insects, characterized in that the *alkylenediamines of the formula [I] are allowed to act on harmful insects and/or their habitat.*" and "8. Use of the *alkylenediamines of the formula [I] for combating harmful insects.*" (Emphasis added)

#### 34. Reference D26

GB 2,271,110 Roussel-Uclaf; published April 6, 1994

This document discloses insecticidal compounds. Two typical representatives are mentioned in claim 8. They have the following chemical structures:

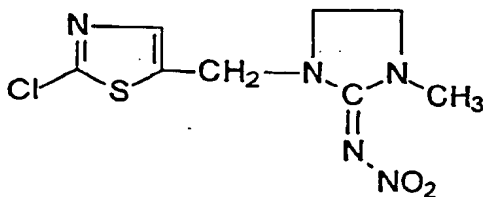


At Page 6, lines 5-13, it is stated that: "The products of the invention are notably used to combat lice in a preventive or curative way and to combat scabies. *The products of the invention can be administered by external route, by spraying, shampooing, by bathing or painting on.* The products of the invention for veterinary use can also be administered by painting the dorsal spine according to the so-called "pour-on" method." (Emphasis added)

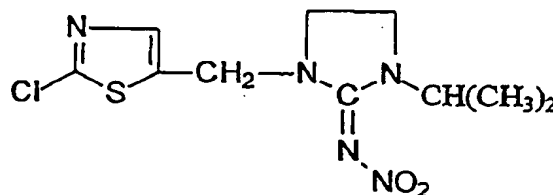
### 35. Reference D27

EP 0,285,985 Bayer AG; published October 12, 1988

This document corresponds to AU 14541/88 (Patent No. AU 604109). This document discloses insecticidal compounds. Two typical representatives are



Compound No 39  
On page 12



Compound No 41  
On page 12

Page 6, lines 17-19 refer to the control of pests on animals.

Page 7, line 11 refers to the order of *Siphonaptera* which are fleas.

Page 7, lines 24-30 refer to all kind of domestic animals, productive livestock and pets.

Page 7, lines 35-38 refer to all kind of non-systemic control methods such as *dermal* application like dipping, spraying, pour-on, spot-on, washing, use of shape articles that contain the active ingredient, etc.

Page 15, Example E describes an *in vitro* test against *Lucilia* which is also a target pest of the opposed application.

Page 18, Claims 6 and 7 define the following subject matter: "6. A method of controlling parasites of animals characterized in that the 3- substituted 1-(2-chloro-thiazol-5-yl-methyl)-2-nitroimino-1,3-diazacycloalkanes of the formula [I] are allowed to act on harmful insects and/or their habitat." "7. Use of the 3-substituted 1-(2-chloro-thiazol-5-yl-methyl)-2-nitroimino-1,3-diazacycloalkanes of the formula [I] for combating parasites of animals". (Emphasis added)

36. In relation to Ground 3, and in the light of the above references, the following comments can be made.

37. The class of compounds, the use of which are the subject of the opposed application, has been described prior to the priority date of the opposed application. To reiterate, reference is made to the opposed application on page 1, first and second paragraphs and on page 2, first paragraph.

38. In addition to the compounds of the formula [I] being known, it is also clear from the above references D1, D2 and D3 that these compounds were known prior to the priority date of the opposed application to act as agonists and antagonists of nicotinic acetylcholine receptors in insects. Document D1 discloses this for the whole class of the compounds. Document D2 discloses this for Imidacloprid which is the compound claimed in claim 9 and also the first molecule shown on page 60 (claim 8). Document D3 describes the interaction of insecticides with the nicotinic acetylcholine receptors that leads to the death of the insect.

39. Hence, the term agonists and antagonists of nicotinic acetylcholine receptors in insects", merely explains the mode of action of these compounds. If the known interaction of said agonists and antagonists of nicotinic acetylcholine receptors results in the death of the insect, then this must happen where and whenever the insect is confronted with the agonist or antagonist. Consequently, this insecticidal activity does not depend on the systemic or non-systemic mode of administration and certainly not on the place where the compound is applied. It is not relevant whether the insect lives on a leaf of a plant, on the skin of an animal, or in other settings, such as on the floor, on carpets or on the animal's sleeping place because whenever one administers the compound to the habitat of the insect, the insect comes into contact with the active compound, and then the compound interacts with the receptor, and the result is the death of the insect. Thus the term "non-systemic use" does not distinguish the use claimed in the opposed application from the use described in the prior art references.

40. Claims 1 to 11 of the opposed application are directed to the *non-systemic* use of known compounds. From the references cited in the first paragraph on page 2 of the opposed application as well as from the references D1-D27 cited above, it is clear that this class of compounds has been widely used as insecticides prior to the priority date of the opposed application.

41. In summary, and in relation to the above references, the following comments may be made:

42. The following references explicitly disclose the use of the compounds of the opposed application against insects that attack animals: Documents D5-D27.

43. The following references explicitly disclose orders or species of insects which are named as target insects in the opposed application: Documents D5-D27.

44. The following references disclose the use that is characterized by the application of the active substance or a formulation containing the active substance directly to the host animal or to the habitat of the insect: D5-D9; D11-D14; and D16-D27.

45. The following references disclose typical formulations or administration methods that are typical for non-systemic use: D5, D7, D8, D11, D12, D13, D14, D15, D22, D23 and D24.

46. Summarising the above, it is clear that the non-systemic use of the agonists and antagonists of nicotinic acetylcholine receptors as defined in claims 1-9, is clearly anticipated by the references cited above.

47. Claim 10 differs from claims 1-9 in that it claims the use of a formulation containing the active ingredient together with one or more physiologically acceptable adjuvants and/or carriers. This claim is not only anticipated by those of the references D5-D27 which disclose compositions that serve the claimed purpose because they contain the active ingredient together with one or more physiologically acceptable adjuvants and/or carriers but also especially by D1 (cf. P 8, lines 6-9) that specifically refers to "non toxic formulation substances" which certainly would be understood to include physiologically acceptable adjuvants and/or carriers, and to specific formulations (p 19, lines 15-40). Furthermore, the composition of claim 10 is indistinguishable from any prior art composition expressed, for example as follows: "Insecticidal composition comprising an insecticidally effective amount of the active ingredient in admixture with a carrier or diluent" (cf., for example D5, claim 28).



48. Claim 11 claims the use of shape articles that contain the active ingredient. This class of use belongs to the customary formulations in the field of veterinary medicine and is anticipated, for example by D5, D10 to D15 and D21 to D23 (c.f. the underlined citations concerning the formulations).

49. Claim 12 claims a process for preparing compositions for the non-systemic control by bringing the active ingredient together with one or more physiologically acceptable adjuvants and/or carriers. This claim is not only anticipated by those of the references D5-D27 which disclose how insecticidal compositions that serve the claimed purpose can be prepared but also by D1 (c.f. p 8, line 20 to the end of p 9). D1 (c.f. p 8, lines 6-9) which specifically refers to "not toxic formulation substances" [which normally implies physiologically acceptable adjuvants and/or carriers)], and to specific formulations (p19 lines 15-40).

#### Ground 4

50. The alleged invention claimed in any one of claims 1 to 12 of the opposed application lacks an inventive step in the light of the relevant common general knowledge in Australia at or before the priority date of the opposed application.

51. The relevant common general knowledge is the common general knowledge of biochemists, chemists, veterinarians, parasitologists and formulation chemists and/or biochemists; teams of biochemists, chemists, veterinarians, parasitologists and formulation chemists involved in the treatment of parasites in regard to animals in Australia at or before the priority date of the claims of the opposed application.

52. The following documents describe matters which form part of the common general knowledge of the skilled person in the art in Australia at the priority date of the claims of the opposed application.

1. Kirk-Othmer, Encyclopedia of Chemical Technology, (1982) 3<sup>rd</sup> Edn, John Wiley & Sons, New York, USA.
2. The Merck Index (1989), 11<sup>th</sup> Edn, Merck & Co., Inc. Rahway New Jersey, USA.
3. The Agrochemicals Handbook, (1987) 2<sup>nd</sup> Edn, Royal Society of Chemistry (UK).
4. Chemotherapy of Parasitic Diseases (1986), Edited by William C. Campbell and Robert S. Rew, Plenum Press.
5. Medical Insects and Arachnids (1993), Edited by Richard P. Lane and Roger W. Crosskey, Chapman & Hall.
6. Howell, C. J. *et al*, Ticks, mites and insects infesting domestic animals in South Africa Part 2. Control (January 1980), Republic of South Africa Department of Agricultural Technical Services.
7. Dryden, M. W. and Rust, M. K., The cat flea: biology, ecology and control, Veterinary Parasitology 52 (1994) 1-19.

In addition, the documents set out in Ground 3 above are relevant to the question of common general knowledge.

53. It was common general knowledge at the priority date of claims 1 to 11 of the opposed application that the systemic use of agonists and antagonists of nicotinic acetylcholine receptors of insects could be used to control these parasites.

54. It was also within the common general knowledge at the priority date of claims 1 to 11 of the opposed application that agonists and antagonists of nicotinic acetylcholine receptors could be applied to an insect habitat at insecticidally effective amounts. In other words, it was common general knowledge that certain of these compounds could be applied in a non-systemic manner.

55. It was also well within the common general knowledge of the skilled addressee of the opposed application to formulate agonists and antagonists of nicotinic acetylcholine receptors for insects by mixing such compounds with physiologically acceptable adjuvants and/or carriers.

56. Specifically, it was part of the common general knowledge of the skilled addressee of the opposed application that the compound imidacloprid acts on the nicotinic acetylcholine receptor of insects and that it possessed low mammalian toxicity.

57. There is therefore nothing inventive in taking compounds, the use of which is claimed in claims 1 to 11 of the opposed application and applying them, specifically imidacloprid, in a non-systemic manner in order to control parasites.

58. The alleged invention, as defined in any one of claims 1 to 12 of the opposed application, lacks an inventive step in the light of all of the documents set out above wherein either a document is taken by itself or a document is taken together with the common general knowledge of the skilled addressee of the opposed application in Australia at the priority date of the opposed application.

59. The alleged invention, as defined in any one of claims 1 to 11 of the opposed application lacks an inventive step because it was known prior to the priority date of the opposed application that the compounds of the formula [I] are agonists and antagonists of nicotinic acetylcholine receptors in insects, which compounds interfere with such receptors, and therefore the use of such compounds would result in the desired effect of killing the target insects.

60. D1, D2 and D3 disclose the mode of action for the compounds of formula [I]. D1 discloses this for the whole class of the compounds. D2 discloses this for imidacloprid which is the compound named in claim 9 and also the first compound shown on page 60 (claim 8). D3 describes the interaction of insecticides with the nicotinic acetylcholine receptors that lead to the death of the insect.

61. Consequently, it would be well within the capability of the skilled addressee to predict prior to the priority date of the opposed application, what would happen if an agonist or antagonist of the nicotinic acetylcholine receptor of the formula [I] was administered in a non-systemic way to the habitat of an insect. This is especially so since references D5 to D9; D11 to D14; and D16 to D27 already disclose that the compounds of the formula [I] or a formulation containing them can be administered directly to the host animal or to the habitat of the insect.

62. The same considerations apply to the subject matter claimed in claims 10 and 11. Knowing the mode of action, a person skilled in the art could predict that the non-systemic use of a composition as defined in claim 10 or a device as claimed in claim 11 would inevitably lead to the death of the target insect.

63. Claim 12 describes a process for the preparation of an insecticidal composition by simply bringing an effective amount of the active ingredient together with suitable adjuvants and carriers. There are absolutely no special preparation steps are defined in that claim. All ingredients are simply mixed together. Consequently, this process does not involve an inventive step.

64. To summarise, there is nothing inventive in taking a known compound with known properties and applying it in a manner which has been commonly used for other compounds to achieve a known and predictable result.

### Ground 5

65. The specification filed in respect of the opposed application does not comply with subsections 40(2) or (3) in that it does not describe the invention fully and the claims are neither clear, nor succinct, nor fairly based on the matter described in the specification.

66. The entirety of each of documents D1-D27 is relevant to Ground 5.

67. The specification does not comply with section 40 since the applicant has failed to fully describe the invention and there is no best method of performance showing results which are indicative of a wide range of compounds which fall within the scope of at least claim 1. Further, the specification does not highlight particular advantages to be provided by the method of any one of the claims of the invention.

68. In addition, all of examples 1 to 15, example A and use examples A and B are all directed to the exemplification of one active ingredient of the large number of active ingredients claimed, namely imidacloprid. Again, the applicant has failed to fully describe the invention based on this very limited exemplification.

69. The parasitic insects which have been exemplified in example A and use examples A and B are fleas only (with no particularity as to the species of fleas). Again, the applicant has failed to fully describe the invention based on this very limited exemplification.

70. There is no exemplification for the use of any transdermal vehicle, even though such use is contemplated at page 9, line 16 of the opposed application. Again, the applicant has failed to fully describe the invention based on this very limited exemplification.

71. The only formulations exemplified are as a suspension concentrate (example 1); a dispersible powder (example 2) and water-soluble concentrates (examples 3 and 4). There is no exemplification of the other preparations which are mentioned in the bridging paragraph between pages 9 and 10. Again, the applicant has failed to fully describe the invention based on this very limited exemplification.

72. Claims 1 to 11 are not clear in that they purport to define the use of agonists and antagonists of nicotinic acetylcholine receptors of insects for the non-systemic control of these insects. The alleged use merely involves the application of known compounds at known dosage rates and accordingly, these claims are not clear since they do not define a proper method.

73. Claims 1 to 12 of the opposed application are not clear since they include within their scope, matter which is old and as a result it is unclear what is the invention being claimed.

74. While there is no definition of "systemic" and "non-systemic" within the description of the opposed application, reference is made to page 9, lines 15-20 which states that: "The active compounds are administered, directly or in the form of suitable preparations, dermally, by environment treatment, or with the aid of active-compound-containing shaped articles such as, for example, strips, plates, bands, collars, ear marks, limb bands, marking devices. Dermal administration is effected for example, in the form of bathing, dipping, spraying, pouring on, spotting on, washing, shampooing, pouring over, and dusting."

Thus, it is not clear as to the exact meaning of "non-systemic", since there is no indication that this is a definition and if so what it is a definition of.

75. Consequent on paragraph 68, claims 1 to 11 are not succinct in that, due to the uncertainty as to the route of administration, those claims may encompass the systemic method of applying the active compounds of this invention.

76. Claims 1 to 12 of the opposed application are not succinct since they include within their scope matter which is old. The claims therefore include matter which cannot form part of the invention and thus do not succinctly define the invention.

77. Claim 1 at least is speculative in scope and consequently is not fairly based on the matter described throughout the specification since the description does not provide an adequate or enabling disclosure to justify the broad range of compounds covered by the claims. In particular, the description is directed towards a specific class of compounds and thus there is no "real and reasonably clear" disclosure of the essential features of at least claim 1.

78. Claims 1 to 12 of the opposed application are not fairly based on the disclosure of the specification because the alleged invention defined in one or more of these claims is not a manner of new manufacture, does not involve an inventive step and is not novel for reasons set out above. The consideration given to the public by the opposed application is not commensurate in scope with what is claimed in the opposed application.

79. The scope of each of claims 1 to 12 of the opposed application is too wide since what is claimed has been disclosed previously and consequently cannot form part of the consideration given to the public for the monopoly which would be obtained if a patent were granted on the application ending with these claims.

The Opponent seeks the following relief:

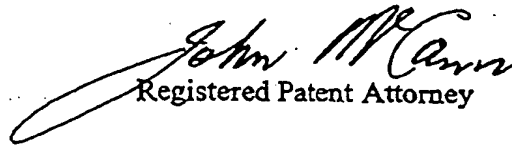
- (i) The refusal to grant a patent on this application
- (ii) The costs of these proceedings.

Our address for service is:-

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DATED this Seventeenth Day of March, 1999

Novartis AG

  
Registered Patent Attorney

RN: S4462/3

INSTR CODE: [052837]